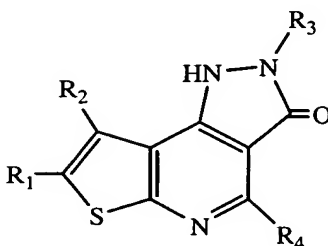


**IN THE CLAIMS:**

This listing of claims will replace all prior versions, and listings, of claims in the application:

**Listing of Claims:**

1. (Currently Amended) A compound of formula I



(I)

wherein

- R<sub>1</sub> and R<sub>2</sub> are each independently H, C<sub>1</sub>-C<sub>10</sub>alkyl optionally substituted with one or more halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>6</sub>, CONR<sub>7</sub>R<sub>8</sub>, C<sub>3</sub>-C<sub>7</sub>cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>9</sub>, NR<sub>10</sub>R<sub>11</sub> or CN groups;
- R<sub>3</sub> is H, C<sub>1</sub>-C<sub>6</sub>alkyl optionally substituted with a phenyl, naphthyl or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each group optionally substituted with one to three C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, hydroxy, CHO, NO<sub>2</sub>, CN, CO<sub>2</sub>R<sub>12</sub> or NR<sub>13</sub>R<sub>14</sub> groups,
- phenyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, CONR<sub>15</sub>R<sub>16</sub>, SO<sub>2</sub>NR<sub>15</sub>R<sub>16</sub>, CO<sub>2</sub>R<sub>17</sub>, NR<sub>18</sub>R<sub>19</sub> or CH<sub>2</sub>CO<sub>2</sub>R<sub>20</sub> groups,
- naphthyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, CO<sub>2</sub>R<sub>17</sub>, NR<sub>18</sub>R<sub>19</sub> or CH<sub>2</sub>CO<sub>2</sub>R<sub>20</sub> groups,
- C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond and optionally substituted with

one to three halogen, NO<sub>2</sub>, CN, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>17</sub> or NR<sub>18</sub>R<sub>19</sub> groups, or

C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S optionally substituted with one to three halogen, NO<sub>2</sub>, CN, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>17</sub> or NR<sub>18</sub>R<sub>19</sub> groups;

R<sub>4</sub> is phenyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups,

C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond and optionally substituted with one or more halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>,R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups, or

C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S optionally substituted with one or more halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups;

R<sub>5</sub> is H, C<sub>1</sub>-C<sub>3</sub>alkyl or haloalkyl;

R<sub>6</sub>, R<sub>9</sub>, R<sub>12</sub>, R<sub>17</sub>, R<sub>20</sub>, R<sub>26</sub> and R<sub>27</sub> are each independently H or a C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, phenyl, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each optionally substituted;

n is 0 or an integer of 1 or 2;

R<sub>7</sub>, R<sub>8</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>18</sub>, R<sub>19</sub>, R<sub>21</sub>, R<sub>22</sub>, R<sub>24</sub> and R<sub>25</sub> are each independently H or a C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, phenyl, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each optionally substituted or each of R<sub>7</sub> and R<sub>8</sub> or R<sub>10</sub> and R<sub>11</sub> or R<sub>13</sub> and R<sub>14</sub> or R<sub>18</sub> and R<sub>19</sub> or R<sub>21</sub> and R<sub>22</sub> or R<sub>24</sub> and R<sub>25</sub> may be taken together with the nitrogen atom to which they are attached to form a 5- to 7-membered ring optionally containing another heteroatom selected from O, N or S; and

R<sub>15</sub> and R<sub>16</sub> are each independently H, NH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> or a C<sub>1</sub>-C<sub>6</sub>alkyl group optionally substituted with one or two CN, OR<sub>5</sub>, NR<sub>13</sub>R<sub>14</sub>, CO<sub>2</sub>R<sub>17</sub> or C<sub>3</sub>-C<sub>7</sub>cycloalkyl group;

phenyl optionally substituted with one or two halogen, OR<sub>5</sub>, CN, NR<sub>13</sub>R<sub>14</sub>, CO<sub>2</sub>R<sub>17</sub>, COR<sub>27</sub>, an optionally substituted C<sub>1</sub>-C<sub>8</sub>alkyl group or an optionally substituted C<sub>2</sub>-C<sub>6</sub>alkenyl group;

benzyl optionally substituted with one or two halogen, OR<sub>5</sub>, COR<sub>27</sub> or a C<sub>1</sub>-C<sub>6</sub>alkyl group optionally substituted with one OR<sub>5</sub> or

pyridinyl optionally substituted with one or two halogen, OR<sub>5</sub>, NR<sub>13</sub>R<sub>14</sub> or CO<sub>2</sub>R<sub>17</sub> groups or

R<sub>15</sub> and R<sub>16</sub> may be taken together with the atom to which they are attached to form an optionally substituted 5- to 7-membered ring optionally containing one double bond, a benzofused ring or an additional heteroatom selected from O, N or S; or

~~the stereoisomers thereof or the pharmaceutically acceptable salts thereof.~~

2. (Original) The compound according to claim 1 wherein R<sub>3</sub> is an optionally substituted phenyl or heteroaryl group.

3. (Original) The compound according to claim 1 wherein R<sub>1</sub> and R<sub>2</sub> are H.

4. (Original) The compound according to claim 1 wherein R<sub>4</sub> is a C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl, heteroaryl or phenyl group each optionally substituted with one or two halogen, CN, NO<sub>2</sub>, CF<sub>3</sub>, methoxy, carboxy or SOR<sub>26</sub> groups.

5. (Original) The compound according to claim 2 wherein R<sub>1</sub> and R<sub>2</sub> are H.

6. (Original) The compound according to claim 2 wherein R<sub>4</sub> is a thienyl, pyridyl or phenyl group, each optionally substituted with one or two halogen, CN, NO<sub>2</sub>, CF<sub>3</sub>, methoxy, carboxy or SOCH<sub>3</sub> groups.

7. (Original) The compound according to claim 3 wherein R<sub>3</sub> is a phenyl group substituted with one or two halogen, CONR<sub>15</sub>R<sub>16</sub> or SO<sub>2</sub>NR<sub>15</sub>R<sub>16</sub> groups.

8. (Original) The compound according to claim 7 wherein R<sub>4</sub> is a phenyl group substituted with one NO<sub>2</sub> or CF<sub>3</sub> group.

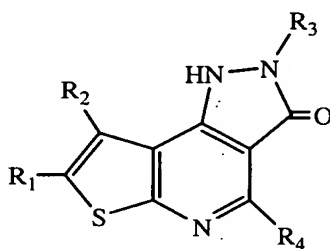
9. (Currently Amended) The compound according to claim 1 selected from the group consisting of:

2-(4-chlorophenyl)-4-[3-(trifluoromethyl)phenyl]-1,2-dihydro-3H-pyrazolo-[3,4-d]thieno[2,3-b]pyridin-3-one;

2-(4-fluorophenyl)-4-[3-(trifluoromethyl)phenyl]-1,2-dihydro-3H-pyrazolo-[3,4-d]thieno[2,3-b]pyridin-3-one;

N-(3,4-dihydroxybenzyl)-3-{3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydropyrazolo[3,4-d]thieno[2,3-b]pyridin-2(1H)-yl}benzamide;  
N-[3-(1-hydroxyethyl)phenyl]-4-{3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihydropyrazolo[3,4-d]thieno[2,3-b]pyridin-2(1H)-yl}benzamide;  
([4-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-dihydropyrazolo-  
[3,4-d]thieno[2,3-b]pyridin-2(1H)-yl)phenyl)sulfonyl}amino)acetic acid;  
~~the stereoisomers thereof; or~~ and the pharmaceutically acceptable salts thereof.

10. (Currently Amended) A method for the treatment of an immune disorder related to or affected by the immune regulatory protein B7-1 which comprises providing a patient in need thereof an immunotherapeutically effective amount of a compound of formula I



(I)

wherein

- R<sub>1</sub> and R<sub>2</sub> are each independently H, C<sub>1</sub>-C<sub>10</sub>alkyl optionally substituted with one or more halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>6</sub>, CONR<sub>7</sub>R<sub>8</sub>, C<sub>3</sub>-C<sub>7</sub>cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>9</sub>, NR<sub>10</sub>R<sub>11</sub> or CN groups;
- R<sub>3</sub> is H, C<sub>1</sub>-C<sub>6</sub>alkyl optionally substituted with a phenyl, naphthyl or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each group optionally substituted with one to three C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, hydroxy, CHO, NO<sub>2</sub>, CN, CO<sub>2</sub>R<sub>12</sub> or NR<sub>13</sub>R<sub>14</sub> groups,
- phenyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, CONR<sub>15</sub>R<sub>16</sub>, SO<sub>2</sub>NR<sub>15</sub>R<sub>16</sub>, CO<sub>2</sub>R<sub>17</sub>, NR<sub>18</sub>R<sub>19</sub> or CH<sub>2</sub>CO<sub>2</sub>R<sub>20</sub> groups,
- naphthyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, CO<sub>2</sub>R<sub>17</sub>, NR<sub>18</sub>R<sub>19</sub> or CH<sub>2</sub>CO<sub>2</sub>R<sub>20</sub> groups,

C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond and optionally substituted with one to three halogen, NO<sub>2</sub>, CN, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>17</sub> or NR<sub>18</sub>R<sub>19</sub> groups, or

C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S optionally substituted with one to three halogen, NO<sub>2</sub>, CN, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>17</sub> or NR<sub>18</sub>R<sub>19</sub> groups;

R<sub>4</sub> is phenyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups, cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond and optionally substituted with one or more halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups, or

C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S optionally substituted with one or more halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups;

R<sub>5</sub> is H, C<sub>1</sub>-C<sub>3</sub>alkyl or haloalkyl;

R<sub>6</sub>, R<sub>9</sub>, R<sub>12</sub>, R<sub>17</sub>, R<sub>20</sub>, R<sub>26</sub> and R<sub>27</sub> are each independently H or a C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, phenyl, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each optionally substituted;

n is 0 or an integer of 1 or 2;

R<sub>7</sub>, R<sub>8</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>18</sub>, R<sub>19</sub>, R<sub>21</sub>, R<sub>22</sub>, R<sub>24</sub> and R<sub>25</sub> are each independently H or a C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, phenyl, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each optionally substituted or each of R<sub>7</sub> and R<sub>8</sub> or R<sub>10</sub> and R<sub>11</sub> or R<sub>13</sub> and R<sub>14</sub> or R<sub>18</sub> and R<sub>19</sub> or R<sub>21</sub> and R<sub>22</sub> or R<sub>24</sub> and R<sub>25</sub> may be taken together with the nitrogen atom to which they are attached to form a 5- to 7-membered ring optionally containing another heteroatom selected from O, N or S; and

R<sub>15</sub> and R<sub>16</sub> are each independently H, NH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> or a C<sub>1</sub>-C<sub>6</sub>alkyl group optionally substituted with one or two CN, OR<sub>5</sub>, NR<sub>13</sub>R<sub>14</sub>, CO<sub>2</sub>R<sub>17</sub> or C<sub>3</sub>-C<sub>7</sub>cycloalkyl group;

phenyl optionally substituted with one or two halogen,  $OR_5$ ,  $CN$ ,  $NR_{13}R_{14}$ ,  $CO_2R_{17}$ ,  $COR_{27}$ , an optionally substituted  $C_1$ - $C_8$ alkyl group or an optionally substituted  $C_2$ - $C_6$ alkenyl group;

benzyl optionally substituted with one or two halogen,  $OR_5$ ,  $COR_{27}$  or a  $C_1$ - $C_6$ alkyl group optionally substituted with one  $OR_5$  or

pyridinyl optionally substituted with one or two halogen,  $OR_5$ ,  $NR_{13}R_{14}$  or  $CO_2R_{17}$  groups or

$R_{15}$  and  $R_{16}$  may be taken together with the atom to which they are attached to form an optionally substituted 5- to 7-membered ring optionally containing one double bond, a benzofused ring or an additional heteroatom selected from O, N or S; or

~~the stereoisomers thereof~~ or the pharmaceutically acceptable salts thereof.

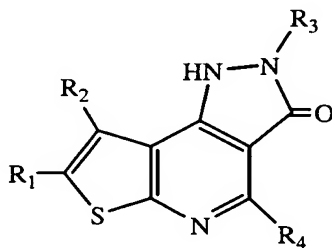
11. (Original) The method according to claim 10 wherein said disorder is transplant rejection.

12. (Original) The method according to claim 10 wherein said disorder is an autoimmune disease.

13. (Original) The method according to claim 10 wherein said disorder is graft vs. host disease.

14. (Original) The method according to claim 12 wherein said disease is multiple sclerosis or rheumatoid arthritis.

15. (Currently Amended) A pharmaceutical composition which comprises a pharmaceutically acceptable carrier and an effective amount of a compound of formula I



(I)

wherein

R<sub>1</sub> and R<sub>2</sub> are each independently H, C<sub>1</sub>-C<sub>10</sub>alkyl optionally substituted with one or more halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>6</sub>, CONR<sub>7</sub>R<sub>8</sub>, C<sub>3</sub>-C<sub>7</sub>cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>9</sub>, NR<sub>10</sub>R<sub>11</sub> or CN groups;

R<sub>3</sub> is H, C<sub>1</sub>-C<sub>6</sub>alkyl optionally substituted with a phenyl, naphthyl or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each group optionally substituted with one to three C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, hydroxy, CHO, NO<sub>2</sub>, CN, CO<sub>2</sub>R<sub>12</sub> or NR<sub>13</sub>R<sub>14</sub> groups, phenyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, CONR<sub>15</sub>R<sub>16</sub>, SO<sub>2</sub>NR<sub>15</sub>R<sub>16</sub>, CO<sub>2</sub>R<sub>17</sub>, NR<sub>18</sub>R<sub>19</sub> or CH<sub>2</sub>CO<sub>2</sub>R<sub>20</sub> groups, naphthyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, CO<sub>2</sub>R<sub>17</sub>, NR<sub>18</sub>R<sub>19</sub> or CH<sub>2</sub>CO<sub>2</sub>R<sub>20</sub> groups, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond and optionally substituted with one to three halogen, NO<sub>2</sub>, CN, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>17</sub> or NR<sub>18</sub>R<sub>19</sub> groups, or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S optionally substituted with one to three halogen, NO<sub>2</sub>, CN, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>17</sub> or NR<sub>18</sub>R<sub>19</sub> groups;

R<sub>4</sub> is phenyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond and optionally substituted with one or more halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups, or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S optionally substituted with one or more halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups;

R<sub>5</sub> is H, C<sub>1</sub>-C<sub>3</sub>alkyl or haloalkyl;

R<sub>6</sub>, R<sub>9</sub>, R<sub>12</sub>, R<sub>17</sub>, R<sub>20</sub>, R<sub>26</sub> and R<sub>27</sub> are each independently H or a C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, phenyl, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1

or 2 heteroatoms selected from N, O or S optionally containing one double bond or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each optionally substituted;

n is 0 or an integer of 1 or 2;

R<sub>7</sub>, R<sub>8</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>18</sub>, R<sub>19</sub>, R<sub>21</sub>, R<sub>22</sub>, R<sub>24</sub> and R<sub>25</sub> are each independently H or a C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, phenyl, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each optionally substituted or each of R<sub>7</sub> and R<sub>8</sub> or R<sub>10</sub> and R<sub>11</sub> or R<sub>13</sub> and R<sub>14</sub> or R<sub>18</sub> and R<sub>19</sub> or R<sub>21</sub> and R<sub>22</sub> or R<sub>24</sub> and R<sub>25</sub> may be taken together with the nitrogen atom to which they are attached to form a 5- to 7-membered ring optionally containing another heteroatom selected from O, N or S; and

R<sub>15</sub> and R<sub>16</sub> are each independently H, NH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> or a C<sub>1</sub>-C<sub>6</sub>alkyl group optionally substituted with one or two CN, OR<sub>5</sub>,

NR<sub>13</sub>R<sub>14</sub>, CO<sub>2</sub>R<sub>17</sub> or C<sub>3</sub>-C<sub>7</sub>cycloalkyl group;

phenyl optionally substituted with one or two halogen, OR<sub>5</sub>, CN, NR<sub>13</sub>R<sub>14</sub>, CO<sub>2</sub>R<sub>17</sub>, COR<sub>27</sub>, an optionally substituted C<sub>1</sub>-C<sub>8</sub>alkyl group or an optionally substituted C<sub>2</sub>-C<sub>6</sub>alkenyl group;

benzyl optionally substituted with one or two halogen, OR<sub>5</sub>, COR<sub>27</sub> or a C<sub>1</sub>-C<sub>6</sub>alkyl group optionally substituted with one OR<sub>5</sub> or

pyridinyl optionally substituted with one or two halogen, OR<sub>5</sub>, NR<sub>13</sub>R<sub>14</sub> or CO<sub>2</sub>R<sub>17</sub> groups or

R<sub>15</sub> and R<sub>16</sub> may be taken together with the atom to which they are attached to form an optionally substituted 5- to 7-membered ring optionally containing one double bond, a benzofused ring or an additional heteroatom selected from O, N or S; or

~~the stereoisomers thereof or the pharmaceutically acceptable salts thereof.~~

16. (Original) The composition according to claim 15 having a formula I compound wherein R<sub>3</sub> is an optionally substituted phenyl, thienyl or pyridyl group.

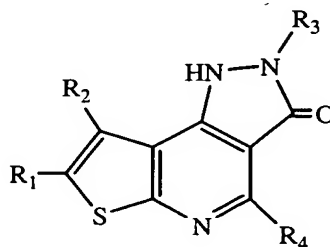
17. (Original) The composition according to claim 16 having a formula I compound wherein R<sub>1</sub> and R<sub>2</sub> are H.

18. (Original) The composition according to claim 17 having a formula I compound wherein R<sub>4</sub> is a thienyl, pyridyl or phenyl group each optionally substituted with one or two halogen, CN, NO<sub>2</sub>, CF<sub>3</sub>, methoxy, carboxy or SOCH<sub>3</sub> groups.



19. (Original) The composition according to claim 18 having a formula I compound wherein  $R_3$  is a phenyl group substituted with one or two halogen,  $\text{CONR}_{15}\text{R}_{16}$  or  $\text{SO}_2\text{NR}_{15}\text{R}_{16}$  groups.

20. (Currently Amended) A process for the preparation of a compound of formula



(I)

wherein

- $R_1$  and  $R_2$  are each independently H,  $\text{C}_1\text{-C}_{10}$ alkyl optionally substituted with one or more halogen, hydroxy,  $\text{C}_1\text{-C}_4$ alkoxy,  $\text{CO}_2\text{R}_6$ ,  $\text{CONR}_7\text{R}_8$ ,  $\text{C}_3\text{-C}_7$ cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy,  $\text{C}_1\text{-C}_6$ haloalkyl,  $\text{C}_1\text{-C}_4$ alkoxy,  $\text{CO}_2\text{R}_9$ ,  $\text{NR}_{10}\text{R}_{11}$  or CN groups;
- $R_3$  is H,  $\text{C}_1\text{-C}_6$ alkyl optionally substituted with a phenyl, naphthyl or  $\text{C}_5\text{-C}_{10}$  heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each group optionally substituted with one to three  $\text{C}_1\text{-C}_6$ alkyl,  $\text{C}_1\text{-C}_6$ haloalkyl,  $\text{C}_1\text{-C}_4$ alkoxy, hydroxy, CHO,  $\text{NO}_2$ , CN,  $\text{CO}_2\text{R}_{12}$  or  $\text{NR}_{13}\text{R}_{14}$  groups,
- phenyl optionally substituted with one to three halogen,  $\text{NO}_2$ , CN, hydroxy,  $\text{C}_1\text{-C}_6$ alkyl,  $\text{C}_1\text{-C}_6$ haloalkyl,  $\text{C}_1\text{-C}_6$ alkoxy, phenyl, phenoxy, benzyl, benzyloxy,  $\text{CONR}_{15}\text{R}_{16}$ ,  $\text{SO}_2\text{NR}_{15}\text{R}_{16}$ ,  $\text{CO}_2\text{R}_{17}$ ,  $\text{NR}_{18}\text{R}_{19}$  or  $\text{CH}_2\text{CO}_2\text{R}_{20}$  groups,
- naphthyl optionally substituted with one to three halogen,  $\text{NO}_2$ , CN, hydroxy,  $\text{C}_1\text{-C}_6$ alkyl,  $\text{C}_1\text{-C}_6$ haloalkyl,  $\text{C}_1\text{-C}_6$ alkoxy, phenyl, phenoxy, benzyl, benzyloxy,  $\text{CO}_2\text{R}_{17}$ ,  $\text{NR}_{18}\text{R}_{19}$  or  $\text{CH}_2\text{CO}_2\text{R}_{20}$  groups,
- $\text{C}_5\text{-C}_7$ cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond and optionally substituted with one to three halogen,  $\text{NO}_2$ , CN,  $\text{C}_1\text{-C}_6$ alkyl,  $\text{C}_1\text{-C}_6$ haloalkyl,  $\text{C}_1\text{-C}_4$ alkoxy,  $\text{CO}_2\text{R}_{17}$  or  $\text{NR}_{18}\text{R}_{19}$  groups, or

C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S optionally substituted with one to three halogen, NO<sub>2</sub>, CN, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>4</sub>alkoxy, CO<sub>2</sub>R<sub>17</sub> or NR<sub>18</sub>R<sub>19</sub> groups;

R<sub>4</sub> is phenyl optionally substituted with one to three halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups,

C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond and optionally substituted with one or more halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups, or

C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S optionally substituted with one or more halogen, NO<sub>2</sub>, CN, hydroxy, C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>1</sub>-C<sub>6</sub>alkylthio, C<sub>1</sub>-C<sub>6</sub>haloalkyl, C<sub>1</sub>-C<sub>6</sub>alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO<sub>n</sub>R<sub>26</sub>, SO<sub>2</sub>NR<sub>21</sub>R<sub>22</sub>, CO<sub>2</sub>R<sub>23</sub> or NR<sub>24</sub>R<sub>25</sub> groups;

R<sub>5</sub> is H, C<sub>1</sub>-C<sub>3</sub>alkyl or haloalkyl;

R<sub>6</sub>, R<sub>9</sub>, R<sub>12</sub>, R<sub>17</sub>, R<sub>20</sub>, R<sub>26</sub> and R<sub>27</sub> are each independently H or a C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, phenyl, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each optionally substituted;

n is 0 or an integer of 1 or 2;

R<sub>7</sub>, R<sub>8</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>18</sub>, R<sub>19</sub>, R<sub>21</sub>, R<sub>22</sub>, R<sub>24</sub> and R<sub>25</sub> are each independently H or a C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>7</sub>cycloalkyl, C<sub>1</sub>-C<sub>6</sub>haloalkyl, phenyl, C<sub>5</sub>-C<sub>7</sub>cycloheteroalkyl ring system containing 1 or 2 heteroatoms selected from N, O or S optionally containing one double bond or C<sub>5</sub>-C<sub>10</sub> heteroaryl ring system containing 1, 2 or 3 heteroatoms selected from N, O or S group each optionally substituted or each of R<sub>7</sub> and R<sub>8</sub> or R<sub>10</sub> and R<sub>11</sub> or R<sub>13</sub> and R<sub>14</sub> or R<sub>18</sub> and R<sub>19</sub> or R<sub>21</sub> and R<sub>22</sub> or R<sub>24</sub> and R<sub>25</sub> may be taken together with the nitrogen atom to which they are attached to form a 5- to 7-membered ring optionally containing another heteroatom selected from O, N or S; and

R<sub>15</sub> and R<sub>16</sub> are each independently H, NH<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub> or a C<sub>1</sub>-C<sub>6</sub>alkyl group optionally substituted with one or two CN, OR<sub>5</sub>, NR<sub>13</sub>R<sub>14</sub>, CO<sub>2</sub>R<sub>17</sub> or C<sub>3</sub>-C<sub>7</sub>cycloalkyl group;

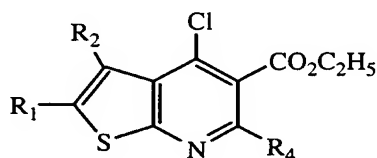
phenyl optionally substituted with one or two halogen, OR<sub>5</sub>, CN, NR<sub>13</sub>R<sub>14</sub>, CO<sub>2</sub>R<sub>17</sub>, COR<sub>27</sub>, an optionally substituted C<sub>1</sub>-C<sub>8</sub>alkyl group or an optionally substituted C<sub>2</sub>-C<sub>6</sub>alkenyl group;

benzyl optionally substituted with one or two halogen, OR<sub>5</sub>, COR<sub>27</sub> or a

C<sub>1</sub>-C<sub>6</sub>alkyl group optionally substituted with one OR<sub>5</sub> or  
pyridinyl optionally substituted with one or two halogen, OR<sub>5</sub>, NR<sub>13</sub>R<sub>14</sub> or  
CO<sub>2</sub>R<sub>17</sub> groups or

R<sub>15</sub> and R<sub>16</sub> may be taken together with the atom to which they are  
attached to form an optionally substituted 5- to 7-membered ring optionally  
containing one double bond, a benzofused ring or an additional heteroatom  
selected from O, N or S; or

which process comprises reacting a compound of formula VI



(VI)

wherein R<sub>1</sub>, R<sub>2</sub> and R<sub>4</sub> are described hereinabove with a hydrazine, R<sub>3</sub>NHNH<sub>2</sub>, to give a 3-hydrazinylthieno-[2,3-b]pyridine intermediate; and cyclizing said intermediate to give the desired compound of formula I.